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FILE COVERS 1907 - 14 Sep 2006 VOL 145 ISS 13  
FILE LAST UPDATED: 14 Sep 2006 (20060914/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s epothilones and conjugate  
520 EPOTHILONES  
63645 CONJUGATE  
L1 18 EPOTHILONES AND CONJUGATE

=> d l1 1-18

L1 ANSWER 1 OF 18 CA COPYRIGHT 2006 ACS on STN  
AN 144:404381 CA  
TI Salts of isophosphoramidate mustard and analogs thereof as antitumor agents  
IN Morgan, Lee Roy  
PA Dekk-Tec Inc., USA  
SO U.S. Pat. Appl. Publ., 22 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	US 2006089333	A1	20060427	US 2005-257766	20051025
	WO 2006047575	A2	20060504	WO 2005-US38523	20051025
	WO 2006047575	A3	20060810		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				
	CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				
	GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,				
	LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ,				
	NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG,				
	SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN,				
	YU, ZA, ZM, ZW				
	RW:				
	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,				
	IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,				
	CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,				
	GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM				
PRAI	US 2004-622087P	P	20041025		
	US 2005-672707P	P	20050418		
OS	MARPAT 144:404381				

L1 ANSWER 2 OF 18 CA COPYRIGHT 2006 ACS on STN  
 AN 144:338265 CA  
 TI Catheter with balloon for the expansion of blood vessels carrying contrast agents and drugs  
 IN Sellin, Lothar; Han, Bock-Sun; Orlowski, Michael  
 PA Germany  
 SO Ger. Offen., 13 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 102004048265	A1	20060406	DE 2004-102004048265	20041004
PRAI	DE 2004-102004048265		20041004		

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 3 OF 18 CA COPYRIGHT 2006 ACS on STN  
 AN 143:422204 CA  
 TI Processes for the preparation of chiral heptyne derivatives for the synthesis of epothilones  
 IN Platzek, Johannes; Petrov, Orlin; Willuhn, Marc; Graske, Klaus-Dieter; Skuballa, Werner  
 PA Schering Aktiengesellschaft, Germany  
 SO PCT Int. Appl., 24 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005101950	A1	20051103	WO 2005-EP4492	20050421
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1589011	A1	20051026	EP 2004-90157	20040422
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
PRAI	EP 2004-90157	A	20040422		
	US 2004-565849P	P	20040428		

OS CASREACT 143:422204; MARPAT 143:422204  
 RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 18 CA COPYRIGHT 2006 ACS on STN  
 AN 143:311972 CA  
 TI Nanocell drug delivery system  
 IN Sengupta, Shiladitya; Zhao, Ganlin; Capila, Ishan; Eavarone, David; Sasisekharan, Ram  
 PA Massachusetts Institute of Technology, USA  
 SO PCT Int. Appl., 76 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005084710	A2	20050915	WO 2005-US6684	20050302
	WO 2005084710	A3	20060713		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	US 2005266067	A1	20051201	US 2005-70731	20050302
PRAI	US 2004-549280P	P	20040302		

L1 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN  
AN 143:254027 CA  
TI Polymeric water soluble antitumor agent conjugate comprising polyamino acid polymer and polyethylene glycol  
IN Lee, William W.  
PA Safeway Investments Ltd., Peop. Rep. China  
SO PCT Int. Appl., 21 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005079861	A2	20050901	WO 2005-US4377	20050211
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
PRAI	US 2004-544694P	P	20040213		

L1 ANSWER 6 OF 18 CA COPYRIGHT 2006 ACS on STN  
AN 143:222473 CA  
TI Epothilone compound conjugates, preparation methods, and therapeutic use  
IN Klar, Ulrich; Willuda, Jorg; Menrad, Andreas; Bosslet, Klaus  
PA Schering AG, Germany  
SO Ger. Offen., 37 pp.  
CODEN: GWXXBX  
DT Patent  
LA German  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 102004004787	A1	20050818	DE 2004-102004004787	20040130
	WO 2005074901	A2	20050818	WO 2005-EP917	20050131
	WO 2005074901	A3	20060330		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,			

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
 MR, NE, SN, TD, TG

US 2005234247 A1 20051020 US 2005-45503 20050131  
 PRAI DE 2004-102004004787 A 20040130  
 US 2004-539977P P 20040130  
 OS MARPAT 143:222473

L1 ANSWER 7 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 143:211944 CA

TI Preparation of conjugates of epothilone derivatives as effectors with  
 suitable biomolecules as recognition units

IN Klar, Ulrich; Willuda, Joerg; Menrad, Andreas; Bosslet, Klaus

PA Schering A.-G., Germany

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005074901	A2	20050818	WO 2005-EP917	20050131
	WO 2005074901	A3	20060330		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

DE 102004004787 A1 20050818 DE 2004-102004004787 20040130  
 PRAI DE 2004-102004004787 A 20040130  
 US 2004-539977P P 20040130  
 OS MARPAT 143:211944

L1 ANSWER 8 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 142:404292 CA

TI Compositions and methods for increasing drug efficiency

IN Ballatore, Carlo; Castellino, Angelo John; Desharnais, Joel; Guo, Zijan;  
 Li, Qing; Newman, Michael James; Sun, Chengzao

PA Dihedron Corporation, USA

SO PCT Int. Appl., 404 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005035003	A2	20050421	WO 2004-US31148	20040922
	WO 2005035003	A3	20050818		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,			

TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

US 2005148534 A1 20050707 US 2004-948364 20040922  
 US 2005187147 A1 20050825 US 2004-948707 20040922  
 PRAI US 2003-505325P P 20030922  
 US 2004-568340P P 20040504  
 US 2004-581835P P 20040622  
 US 2003-505033P P 20030922  
 OS MARPAT 142:404292

L1 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN  
 AN 142:254652 CA  
 TI Potentiation of the activation of high-molecular-weight prodrugs for  
 therapeutic or diagnostic use  
 IN Trouet, Andre; Dubois, Vincent  
 PA Diatos, Fr.  
 SO Fr. Demande, 64 pp.  
 CODEN: FRXXBL

DT Patent  
 LA French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 2858936	A1	20050225	FR 2003-10114	20030822
	AU 2004268405	A1	20050310	AU 2004-268405	20040819
	CA 2536442	AA	20050310	CA 2004-2536442	20040819
	WO 2005021043	A2	20050310	WO 2004-FR2162	20040819
	WO 2005021043	A3	20060615		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,  
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,  
 SN, TD, TG

PRAI FR 2003-10114 A 20030822  
 WO 2004-FR2162 W 20040819

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 10 OF 18 CA COPYRIGHT 2006 ACS on STN  
 AN 142:92195 CA  
 TI Anti-IGF-I receptor antibodies, fragments and conjugates for cancer  
 research diagnosis and therapy  
 IN Singh, Rajeeva; Tavares, Daniel J.; Dagdigian, Nancy E.  
 PA Immunogen Inc., USA  
 SO U.S. Pat. Appl. Publ., 84 pp., Cont.-in-part of U.S. Ser. No. 170,390.  
 CODEN: USXXCO

DT Patent  
 LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2004265307	A1	20041230	US 2003-729441	20031208
	US 2003235582	A1	20031225	US 2002-170390	20020614
	CN 1678633	A	20051005	CN 2003-813742	20030612

US 2005186203	A1	20050825	US 2004-897406	20040723
US 2005249728	A1	20051110	US 2004-932334	20040902
AU 2004303792	A1	20050707	AU 2004-303792	20041207
CA 2548065	AA	20050707	CA 2004-2548065	20041207
WO 2005061541	A1	20050707	WO 2004-US38230	20041207

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1692176	A1	20060823	EP 2004-811082	20041207
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				

PRAI US 2002-170390	A2	20020614
US 2003-729441	A1	20031208
WO 2004-US38230	W	20041207

L1 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 141:332039 CA

TI Preparation of bioeductively activated prodrugs of antiproliferative agents

IN Davis, Peter David; Naylor, Matthew Alexander; Thomson, Peter; Everett, Steven Albert; Stratford, Michael Richard Lacey; Wardman, Peter

PA Angiogene Pharmaceuticals Limited, UK; Gray Laboratory Cancer Research Trust

SO PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004085421	A2	20041007	WO 2004-GB1330	20040326
	WO 2004085421	A3	20050324		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2004224070	A1	20041007	AU 2004-224070	20040326
CA 2519901	AA	20041007	CA 2004-2519901	20040326
EP 1613612	A2	20060111	EP 2004-723650	20040326

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK

CN 1791591	A	20060621	CN 2004-80013946	20040326
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PRAI GB 2003-6907	A	20030326
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WO 2004-GB1330	W	20040326
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OS CASREACT 141:332039; MARPAT 141:332039

L1 ANSWER 12 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 141:38480 CA

TI Preparation of epothilone-saccharide conjugates for site specific delivery  
in the treatment of proliferative diseases  
IN Bosslet, Klaus; Hess-Stumpp, Holger; Hoffmann, Jens; Klar, Ulrich;  
Rotgeri, Andrea  
PA Schering A.-G., Germany  
SO PCT Int. Appl., 123 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004050089	A1	20040617	WO 2003-EP13780	20031205
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10256982	A1	20040624	DE 2002-10256982	20021205
	AU 2003294796	A1	20040623	AU 2003-294796	20031205
	US 2004167083	A1	20040826	US 2003-728098	20031205
	EP 1581218	A1	20051005	EP 2003-785751	20031205
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	JP 2006510626	T2	20060330	JP 2004-556300	20031205
PRAI	DE 2002-10256982	A	20021205		
	US 2002-431197P	P	20021206		
	WO 2003-EP13780	W	20031205		
OS	MARPAT 141:38480				

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 13 OF 18 CA COPYRIGHT 2006 ACS on STN  
AN 140:181251 CA  
TI Preparation of new epothilone peptide effector conjugates for  
pharmaceutical use in the treatment of proliferative or angiogenesis  
associated disease processes  
IN Berger, Markus; Siemeister, Gerhard; Klar, Ulrich; Willuda, Joerg; Menrad,  
Andreas; Bosslet, Klaus  
PA Schering AG, Germany  
SO PCT Int. Appl., 148 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004012735	A2	20040212	WO 2003-EP8483	20030731
	WO 2004012735	A3	20040527		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

DE 10234975	A1	20040212	DE 2002-10234975	20020731
DE 10305098	A1	20040819	DE 2003-10305098	20030207
CA 2492437	AA	20040212	CA 2003-2492437	20030731
AU 2003253365	A1	20040223	AU 2003-253365	20030731
EP 1524979	A2	20050427	EP 2003-743752	20030731
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013043	A	20050614	BR 2003-13043	20030731
JP 2006505627	T2	20060216	JP 2005-506073	20030731
CN 1812785	A	20060802	CN 2003-818111	20030731
NO 2005001038	A	20050406	NO 2005-1038	20050225
PRAI DE 2002-10234975	A	20020731		
DE 2003-10305098	A	20030207		
US 2003-451673P	P	20030305		
WO 2003-EP8483	W	20030731		
OS MARPAT 140:181251				

L1 ANSWER 14 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 140:181250 CA

TI Preparation of new epothilone peptide effector conjugates for pharmaceutical use in the treatment of proliferative or angiogenesis associated disease processes

IN Berger, Markus; Klar, Ulrich; Siemeister, Gerhard; Willuda, Joerg; Menrad, Andreas; Bosslet, Klaus

PA Schering AG, Germany

SO Ger. Offen., 43 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10234975	A1	20040212	DE 2002-10234975	20020731
	CA 2492437	AA	20040212	CA 2003-2492437	20030731
	WO 2004012735	A2	20040212	WO 2003-EP8483	20030731
	WO 2004012735	A3	20040527		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003253365	A1	20040223	AU 2003-253365	20030731
	US 2005026971	A1	20050203	US 2003-631011	20030731
	EP 1524979	A2	20050427	EP 2003-743752	20030731
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
	BR 2003013043	A	20050614	BR 2003-13043	20030731
	JP 2006505627	T2	20060216	JP 2005-506073	20030731
	CN 1812785	A	20060802	CN 2003-818111	20030731
	NO 2005001038	A	20050406	NO 2005-1038	20050225
PRAI	DE 2002-10234975	A	20020731		
	DE 2003-10305098	A	20030207		
	US 2003-451673P	P	20030305		
	WO 2003-EP8483	W	20030731		
OS	MARPAT 140:181250				

L1 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 140:99617 CA

TI Peptide conjugates with drugs as prodrugs for activation by tissue or



cell-specific proteinases

IN Madison, Edwin L.; Semple, Joseph Edward; Vlasuk, George P.; Kemp, Scott  
Jeffrey; Komandla, Mallareddy; Siev, Daniel Vanna  
PA Corvas International, Inc., USA  
SO U.S. Pat. Appl. Publ., 359 pp.  
CODEN: USXXCO

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 2004001801	A1	20040101	US 2002-156214	20020523
PRAI	US 2002-156214		20020523		
OS	MARPAT 140:99617				

L1 ANSWER 16 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 137:274074 CA

TI Recombinant production of polyanionic polymers, and uses thereof as drug  
carriers for improvement of bioactivity and water-solubility

IN Leung, David W.; Bergman, Philip A.; Lofquist, Alan; Pietz, Gregory E.;  
Tompkins, Christopher K.; Waggoner, David W., Jr.

PA Cell Therapeutics Inc, USA

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	WO 2002077036	A2	20021003	WO 2002-US8614	20020321
	WO 2002077036	A3	20040129		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,				
	CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				
	GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,				
	LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,				
	PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,				
	UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				
	KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB,				
	GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA,				
	GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002169125	A1	20021114	US 2002-101487	20020320
	AU 2002252429	A1	20021008	AU 2002-252429	20020321
	US 2005118136	A1	20050602	US 2004-939988	20040914
PRAI	US 2001-277705P	P	20010321		
	US 2002-101487	A3	20020320		
	WO 2002-US8614	W	20020321		

L1 ANSWER 17 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 134:56502 CA

TI Enantioselective Total Synthesis of Epothilones A and B Using  
Multifunctional Asymmetric Catalysis

AU Sawada, Daisuke; Kanai, Motomu; Shibasaki, Masakatsu

CS Graduate School of Pharmaceutical Sciences, The University of Tokyo,  
Bunkyo-ku Tokyo, 113-0033, Japan

SO Journal of the American Chemical Society (2000), 122(43), 10521-10532

CODEN: JACSAT; ISSN: 0002-7863

PB American Chemical Society

DT Journal  
LA English

OS CASREACT 134:56502

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 18 OF 18 CA COPYRIGHT 2006 ACS on STN  
AN 132:262172 CA  
TI Use of neoangiogenesis markers for diagnosis and treatment of tumors  
IN Krause, Werner; Muschick, Peter  
PA Schering Aktiengesellschaft, Germany  
SO PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018439	A2	20000406	WO 1999-EP7198	19990929
	WO 2000018439	A3	20000914		
	W: AE, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, EE, ES, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	DE 19845798	A1	20000413	DE 1998-19845798	19980929
PRAI	DE 1998-19845798	A	19980929		

=> d l1 1-18 an ab

L1 ANSWER 1 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 144:404381 CA

AB The invention discloses salts and compns. of isophosphoramide mustard and isophosphoramide mustard analogs. In one embodiment the salts can be represented by the formula  $A^{+}\text{-OP(=O)(NHCH}_2\text{CH}_2\text{Y)NHCH}_2\text{CH}_2\text{X}$  ( $A^{+}$  = ammonium species selected from protonated (conjugate acid) or quaternary forms of aliphatic amines and aromatic amines, including basic amino acids, heterocyclic amines, substituted and unsubstituted pyridines, guanidines and amidines; X, Y = leaving group). Also disclosed are methods for making such compds. and formulating pharmaceutical compns. thereof. Methods for administering the disclosed compds. to subjects, particularly to treat hyperproliferative disorders, also are disclosed.

L1 ANSWER 2 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 144:338265 CA

AB The invention concerns an expandable and contractable catheter with balloon that is provided with contrast agents and optionally with drugs. Contrast agents for computer tomog., nuclear magnetic tomog. or ultrasound imaging can be applied. Contrast agents contain barium, iodine, manganese, iron, lanthanum, cerium, praseodymium, neodymium, samarium, europium, gadolinium, terbium, dysprosium, holmium, erbium, thulium, ytterbium and/or lutetium. Drugs are selected from the group of antiproliferative, anti-inflammatory, anti-phlogistic, cytostatic, cytotoxic and/or antithrombotic active substances. The invention concerns also concerns a method for introducing the contrast agents and drugs into the catheter and balloon by (a) placing the medical good in a vacuum chamber; (b) preparing of solns. with contrast agent and/or drug and placing it into cavities of the chamber; (c) applying vacuum; (d) nebulizing the solution using ultrasound and directing it onto the medical good for coating; (e) airing the chamber and removing the coated medical good.

L1 ANSWER 3 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 143:422204 CA

AB The invention relates to a new synthetic process for the production of key intermediates useful in the synthesis of epothilones or epothilone derivs. I [ $R_1$  = H, Me;  $R_2$  = heterocycle containing radical;  $R_3$  = H, alkyl], to certain compds. used to produce these key intermediates and to

a process to produce said compds. The intermediates used are alkynes II [R = H, (un)substituted alkyl; X1 = an oxygen protecting group] and III. The process for the preparation of intermediates IV comprises: (i) reaction of alkynol derivative V with RCHO in the presence of base to give III; (ii) oxidation of III to give II; and (iii) reduction of II to give IV. Alternatively, IV can be prepared via: (i) reaction of alkynol derivative V

with

RCOX (X = leaving group) to give II; and (ii) reduction of II to give IV.

L1 ANSWER 4 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 143:311972 CA

AB Nanocells allow the sequential delivery of two different therapeutic agents with different modes of action or different pharmacokinetics. A nanocell is formed by encapsulating a nanocore with a first agent inside a lipid vesicle containing a second agent. The agent in the outer lipid compartment is released first and may exert its effect before the agent in the nanocore is released. The nanocell delivery system may be formulated in pharmaceutical composition for delivery to patients suffering from diseases such as cancer, inflammatory diseases such as asthma, autoimmune diseases such as rheumatoid arthritis, infectious diseases, and neurol. diseases such as epilepsy. In treating cancer, a traditional antineoplastic agent is contained in the outer lipid vesicle of the nanocell, and an antiangiogenic agent is loaded into the nanocore. This arrangement allows the antineoplastic agent to be released first and delivered to the tumor before the tumor's blood supply is cut off by the antiangiogenic agent. A conjugate of lactide-glycolide copolymer and doxorubicin was prepared, nanocores prepared containing this conjugate, and a phospholipid matrix surrounded this nanocore.

L1 ANSWER 5 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 143:254027 CA

AB The present invention provides a conjugate comprising a chemotherapeutic agent (such as an antitumor drug) conjugated to a water soluble polyamino acid polymer, wherein the water soluble polyamino acid

polymer is conjugated to a hydrophilic polymer such as polyethylene glycol. The present invention also provides a pharmaceutical composition comprising such a conjugate. Methods of making the pharmaceutical composition and methods of using the pharmaceutical composition for treating diseases and coating implantable medical devices are also provided.

L1 ANSWER 6 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 143:222473 CA

AB Conjugates of epothilones and epothilone derivs. (as effectors) with biomols. (as recognition units) are disclosed. Production involves reaction of an effector mol. with a suitable linker mol., followed by conjugation of the resulting product with a recognition mol., e.g. an antibody or antibody fragment. Also disclosed is the use of the conjugates of the invention for the treatment of proliferative or angiogenesis-associated processes.

L1 ANSWER 7 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 143:211944 CA

AB Conjugates of epothilones and epothilone derivs. (as effectors) with suitable biomols. (as recognition units) are described. Their production is carried out by the effectors being reacted with suitable linkers, and the compds. that are produced are conjugated to the recognition units. The pharmaceutical use of conjugates for treating proliferative or angiogenesis-associated processes is described. An examples linker prepared is (2S,5S)-[5-[2-[11-(2,5-dioxo-2,5-dihydropyrrol-1-yl)undecanoylamino]-3-phenylpropionylamino]-5--(4-hydroxymethylphenylcarbamoyl)pentyl]carbamic acid allyl ester. Effector-linker units and effector-linker recognition unit conjugates with antibodies were also prepared

L1 ANSWER 8 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 142:404292 CA

AB In one embodiment, provided herein are compns. and methods for increasing drug efficiency. In certain embodiments, the compns. contain conjugates having the formula: D-L-S wherein D is a drug moiety; L, which may or may not be present, is a non-releasing linker moiety; and S is a substrate for a protein or lipid kinase that is overexpressed, overactive or exhibits undesired activity in a target system.

L1 ANSWER 9 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 142:254652 CA

AB The invention discloses a modified form of a prodrug. The prodrugs of the invention include a bulky group, a spacer, a structure cleavable in the circulation, and a therapeutic agent or a marker. The spacer allows or facilitates the cleavage of the cleavable structure. Preparation of PEG-peptide-doxorubicin conjugates is included.

L1 ANSWER 10 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 142:92195 CA

AB Antibodies, humanized antibodies, resurfaced antibodies, antibody fragments, derivatized antibodies, and conjugates of same with cytotoxic agents, which specifically bind to, and inhibit, insulin-like growth factor-I receptor, antagonize the effects of IGF-I, IGF-II and serum on the growth and survival of tumor cells, and which are substantially devoid of agonist activity. Said antibodies and fragments thereof may be used, optionally in conjunction with other therapeutic agents, in the treatment of tumors that express elevated levels of IGF-I receptor, such as breast cancer, colon cancer, lung cancer, ovarian carcinoma, synovial sarcoma, prostate cancer and pancreatic cancer, and said derivatized antibodies may be used in the diagnosis and imaging of tumors that express elevated levels of IGF-1 receptor.

L1 ANSWER 11 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 141:332039 CA

AB Title compds. I [wherein Ar = substituted heteroaryl bearing at least one NO<sub>2</sub> or N<sub>3</sub> group or (un)substituted 4,7-dioxo-3-indolyl, fused 1,4-dioxo-2,5-cyclohexadien-2-yl with hetero/aryl ring; R<sub>1</sub>, R<sub>2</sub> = independently (un)substituted alk(en/yn)yl, aryl, COR<sub>3</sub>, or R<sub>1</sub>CR<sub>2</sub> = (un)substituted heterocycloalkyl, carbocyclyl; L = (L')<sub>n</sub>; L' = -OC(:O)- or -OP(:O)(OR<sub>6</sub>)-; n = 0-1; X = O, S, NR<sub>7</sub> or a single bond; R<sub>3</sub> = OR<sub>4</sub>, NR<sub>4</sub>R<sub>5</sub>; R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub> = independently H, alkyl; or where R<sub>3</sub> = NR<sub>4</sub>R<sub>5</sub>, NR<sub>4</sub>R<sub>5</sub> = heterocycloalkyl; Dr = a moiety such that DrXH = cytostatic compound; and their pharmaceutically acceptable salts] were prepared as prodrugs which released antiproliferative agents upon bioreductive activation. Ten synthetic examples and five biol. examples are given. Thus, reacting 1-methyl-1-(5-nitrothiophen-2-yl)ethanol with combretastatin A4 in benzene in the presence of Bu<sub>3</sub>P/ADDP at 20° for 24 h gave II in 31% yield. II released combretastatin A4 at a G-value (number of mols. produced by 1 J of radiation energy) of 3.6•10<sup>-7</sup> mol/J in the hypoxic environments of solid tumors.

L1 ANSWER 12 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 141:38480 CA

AB Conjugates of formula I [R<sub>1</sub>, R<sub>1a</sub>, R<sub>2</sub>, R<sub>2a</sub>, R<sub>3</sub>, R<sub>4</sub>. R<sub>4a</sub> = H, alkyl, aryl, arylalkyl; R<sub>1</sub>R<sub>1a</sub>, R<sub>2</sub>R<sub>2a</sub>, R<sub>4</sub>R<sub>4a</sub> = alkylene; R<sub>5</sub> = H, alkyl, aryl, (substituted) CO<sub>2</sub>H, (substituted) CH<sub>2</sub>OH, CN, etc.; R<sub>6</sub>R<sub>7</sub> = H, bond, O, NH, alkyl-N, CH<sub>2</sub>; D-E = CH<sub>2</sub>CH<sub>2</sub>, CH=CH, C.tplbond.C, CH(OH)-CH(OH), etc.; G = O, CH<sub>2</sub>; W = aromatic radical, CHO, etc.; Z = O, (substituted) OH; A-Y = O-CO, O-CH<sub>2</sub>, NH-CO, etc.; L<sub>1</sub>, L<sub>2</sub>, L<sub>3</sub> = H, COCl, CSCl, (substituted) CO-O-phenoxy-saccharide, etc.] with epothilones and epothilone derivs. (as effectors) with suitable saccharides or saccharide derivs. (as recognition units) are described. Their production is carried out by the recognition units being reacted with suitable linkers, and the compds. that are produced are conjugated to the effectors. The pharmaceutical use

of the conjugates for treating proliferative or angiogenesis-associated processes is described. Thus, II was prepared in several steps.

L1 ANSWER 13 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 140:181251 CA

AB Preparation of epothilone derivs., such as I (R2 = alkyl, alkenyl, alkynyl, aryl, etc.; L1, L2 = carboxyl, carbamoyl, carbonic linking group with a terminal group, such as maleimido, suitable for forming a sulfide link with a bio. mol.; L3 = heteroaryl, such as thiazol-4-yl; W = alkenylene linking group; or L3W = heteroaryl, such as benzothiazol-5-yl; X = O, bond), as effectors linked with suitable biomols. as recognition units was described (no biol. testing data was presented). Production of the epothilone conjugates was carried out by the effectors being reacted with suitable linkers, and the compds. that were produced were conjugated to biomol. recognition units. These conjugates are claimed for use in the treatment of proliferative or angiogenesis-associated disease processes, such as tumors, inflammatory diseases, neurodegenerative diseases, such as multiple sclerosis and Alzheimer's disease, and rheumatoid arthritis. Thus, epothilone derivative II [L1 = 3-(2,5-dioxo-2,5-dihydropyrrol-1-yl)-1-Pr, L2 = H] was prepared via a carbamoylation of silylated epothilone I (L1 = H, L2 = SiMe2CMe3) with 3-(2,5-dioxo-2,5-dihydropyrrol-1-yl)-1-propylisocyanate and subsequent desilylation.

L1 ANSWER 14 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 140:181250 CA

AB Preparation of epothilone derivs., such as I (R2 = alkyl, alkenyl, alkynyl, aryl, etc.; L1, L2 = carboxyl, carbamoyl, carbonic linking group with a terminal group, such as maleimido, suitable for forming a sulfide link with a bio. mol.; L3 = heteroaryl, such as thiazol-4-yl; W = alkenylene linking group; or L3W = heteroaryl, such as benzothiazol-5-yl; X = O, bond), as effectors linked with suitable biomols. as recognition units was described (no biol. testing data was presented). Production of the epothilone conjugates was carried out by the effectors being reacted with suitable linkers, and the compds. that were produced were conjugated to biomol. recognition units. These conjugates are claimed for use in the treatment of proliferative or angiogenesis-associated disease processes, such as tumors, inflammatory diseases, neurodegenerative diseases, such as multiple sclerosis and Alzheimer's disease, and rheumatoid arthritis. Thus, epothilone derivative II [L1 = 3-(2,5-dioxo-2,5-dihydropyrrol-1-yl)-1-Pr, L2 = H] was prepared via a carbamoylation of silylated epothilone I (L1 = H, L2 = SiMe2CMe3) with 3-(2,5-dioxo-2,5-dihydropyrrol-1-yl)-1-propylisocyanate and subsequent desilylation.

L1 ANSWER 15 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 140:99617 CA

AB Conjugates of peptides with drugs that are substrates of a tissue-specific proteinases that can be used to treat diseases associated with abnormal levels of the enzyme. The enzyme may be transmembrane serine proteinase, a urokinase, or an endotheliase. The conjugates are to be substrates for proteinases that may be cell- or tissue-specific. The drug moiety of the conjugate may be cytotoxic. The drug may be bound to the peptide by a labile linker that will eliminate itself after the preliminary hydrolysis.

L1 ANSWER 16 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 137:274074 CA

AB The invention provides a method for constructing a expression cassette that produce a polyanionic polymer that can be used as drug carriers to improve the bioactivity and water-solubility properties of a drug. The inventive method provides a monodispersed preparation of a recombinantly-produced polyanionic polymer that can be easily manipulated, such as lengthened. An active moiety may be chemical or recombinantly joined to a polyanionic polymer to increase its biol. half-life and/or solubility. The instant invention also provides a method for targeting the delivery of a

polyanionic polymer conjugate or fusion protein to a specific cell type or tissue.

L1 ANSWER 17 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 134:56502 CA

AB An enantioselective total synthesis of epothilones A and B using multifunctional asym. catalysis such as a cyanosilylation of an aldehyde, an aldol reaction of an unmodified ketone with an aldehyde, and a protonation in the conjugate addition of a thiol to an  $\alpha,\beta$ -unsatd. thioester has been achieved. Epothilones A and B were divided into fragment A (I), fragment B (II), and fragment C (III). A catalytic asym. synthesis of fragments A and B was accomplished using a catalytic asym. cyanosilylation as a key step. An enantiocontrolled synthesis of fragment C was achieved in two ways. One is the use of a direct catalytic asym. aldol reaction of an unmodified ketone with an aldehyde as a key step, and the other utilizes a catalytic asym. protonation in the conjugate addition of a thiol to an  $\alpha,\beta$ -unsatd. thioester as a key step. Suzuki cross-coupling of fragment A with fragment C followed by Yamaguchi lactonization as key steps led to an enantiocontrolled synthesis of epothilone A. On the other hand, Suzuki cross-coupling of fragment B with fragment C followed by Yamaguchi lactonization accomplished an enantiocontrolled synthesis of epothilone B.

L1 ANSWER 18 OF 18 CA COPYRIGHT 2006 ACS on STN

AN 132:262172 CA

AB Neoangiogenesis markers (i.e. antibodies or receptors for e.g. vascular endothelial growth factor, placenta growth factor, acidic or basic FGF, transforming growth factor  $\alpha$  or  $\beta$ , hepatocyte growth factor, insulin-like growth factor I, glycoprotein B61, protein LERK-1, flk-1 receptor, etc.) or partial sequences thereof and antiangiogenic compds. and factors such as paclitaxel, endostatin, fibronectin peptide, and fumagillin are conjugated with active agents such as chemotherapeutic agents, radiosensitizers, photosensitizers, antibodies, oligonucleotides, radioactive metal complexes, etc., which may be bound to carriers, for treatment of tumors. Likewise, neoangiogenesis markers may be conjugated to diagnostic agents such as MRI, radiog., ultrasound, or near-IR contrast agents for tumor diagnosis. Thus, N',N',N'',N'''-tetrakis(tert-butoxycarboxymethyl)-N'''-(hydroxycarboxymethyl)diethylenetriamine was converted to its N-hydroxysuccinimide ester, coupled to a Thy-1 antibody, complexed with  $^{186}\text{Re}$ , and injected i.v. into rabbits for detection of implanted VX2 tumors by scintigraphy with a gamma camera.